

540276

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
15 July 2004 (15.07.2004)

PCT

(10) International Publication Number  
**WO 2004/058249 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 31/402**,  
31/4025, 31/454, C07D 207/34

(21) International Application Number:  
PCT/GB2003/005569

(22) International Filing Date:  
18 December 2003 (18.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0230088.7 24 December 2002 (24.12.2002) GB

(71) Applicant (for all designated States except US): **ASTRAZENECA AB** [SE/SE]; S-151 85 Sodertalje (SE).

(71) Applicant (for MG only): **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BERGGREN, Anna, Ingrid, Kristina** [SE/SE]; AstraZeneca R & D

Molndal, S-431 83 Molndal (SE). **BOSTROM, Stig, Jonas** [SE/SE]; AstraZeneca R & D Molndal, S-431 83 Molndal (SE). **CHENG, Leifeng** [GB/SE]; AstraZeneca R & D Molndal, S-431 83 Molndal (SE). **ELEBRING, Stig, Thomas** [SE/SE]; AstraZeneca R & D Molndal, S-431 83 Molndal (SE). **GREASLEY, Peter** [GB/SE]; AstraZeneca R & D Molndal, S-431 83 Molndal (SE). **NAGARD, Mats** [SE/SE]; AstraZeneca R & D Molndal, S-431 83 Molndal (SE). **WILSTERMANN, Johan, Michael** [SE/SE]; AstraZeneca R & D Molndal, S-431 83 Molndal (SE). **TERRICABRAS, Emma** [ES/ES]; Francesc Cabanes 1-3, 2<sup>o</sup> 1a Sant Cugat del Valles, 08190 Barcelona (ES).

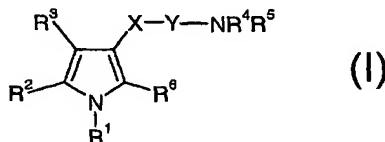
(74) Agents: **ASTRAZENECA** et al.; Global Intellectual Property, P.O. Box 272, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4GR (GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (regional): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),

[Continued on next page]

(54) Title: 1,5-DIARYL-PYRROLE-3-CARBOXAMIDE DERIVATIVES AND THEIR USE AS CANNABINOID RECEPTOR MODULATORS



(57) Abstract: The present invention relates to a compound of formula (I) (A chemical formula should be inserted here - please see paper copy enclosed herewith) in which R<sup>1</sup> and R<sup>2</sup> independently represent phenyl, thienyl or pyridyl each of which is optionally substituted by one, two or three groups represented by Z; and R<sup>3</sup> is H, a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxymethyl group, trifluoromethyl, a hydroxyC<sub>1-3</sub>alkyl group, an aminoC<sub>1-3</sub>alkyl group, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkylcarbamoyl, acetyl, or hydrazinocarbonyl of formula -CONHNR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup> and R<sup>b</sup> are as defined for R<sup>4</sup> and R<sup>5</sup> respectively; X is CO or SO<sub>2</sub>; Y is absent or represents NH optionally substituted by a C<sub>1-3</sub>alkyl group; R<sup>4</sup> and R<sup>5</sup> independently represent: a C<sub>1-6</sub>alkyl group; an (amino)C<sub>1-4</sub>alkyl- group in which the amino is optionally substituted by one or more C<sub>1-3</sub>alkyl groups; an optionally substituted non-aromatic C<sub>3-15</sub>carbocyclic group; a (C<sub>3-12</sub>cycloalkyl)C<sub>1-3</sub>alkyl- group; a group -(CH<sub>2</sub>)<sub>n</sub>(phenyl)<sub>m</sub>; naphthyl; anthracenyl; a saturated 5 to 8 membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen wherein the heterocyclic group is optionally substituted ;1-adamantylmethyl; a group - (CH<sub>2</sub>)<sub>n</sub> Het where Het represents an aromatic heterocycle optionally substituted; or R<sup>4</sup> represents H and R<sup>5</sup> is as defined above; or R<sup>4</sup> and R<sup>5</sup> together with the nitrogen atom to which they are attached represent a saturated 5 to 8 membered heterocyclic group; R<sup>6</sup> is H, a C<sub>1-3</sub>alkyl group, a C<sub>1-3</sub>alkoxymethyl group, trifluoromethyl, a hydroxyC<sub>1-3</sub>alkyl group, C<sub>1-3</sub>alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C<sub>1-3</sub>alkylcarbamoyl, acetyl, or hydrazinocarbonyl of formula -CONHNR<sup>a</sup>R<sup>b</sup>; with provisos; to processes for preparing such compounds, to their use in the treatment of obesity, psychiatric and neurological disorders particularly obesity, to methods for their therapeutic use and to pharmaceutical compositions containing them.

WO 2004/058249 A1



Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,  
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,  
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

**Published:**

— *with international search report*